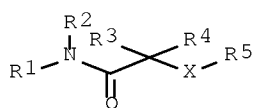
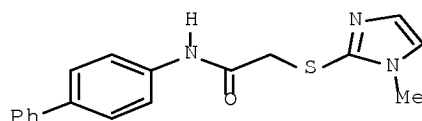


TITLE: Preparation of  $\alpha$ -alkoxy and  $\alpha$ -thioalkoxyamides as NPY5 receptor antagonists  
 INVENTOR(S): Connell, Richard D.; Lease, Timothy G.; Ladouceur, Gaetan H.; Osterhout, Martin H.  
 PATENT ASSIGNEE(S): Bayer Corporation, USA  
 SOURCE: PCT Int. Appl., 64 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9835944	A1	19980820	WO 1998-US2122	19980205 <--
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, GW, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
CA 2251580	A1	19980820	CA 1998-2251580	19980205 <--
AU 9862671	A	19980908	AU 1998-62671	19980205 <--
EP 927166	A1	19990707	EP 1998-904909	19980205 <--
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
JP 2000510870	T	20000822	JP 1998-535803	19980205 <--
PRIORITY APPLN. INFO.:			US 1997-800795	A 19970214 <--
			WO 1998-US2122	W 19980205 <--
OTHER SOURCE(S):		MARPAT 129:202952		
GI				



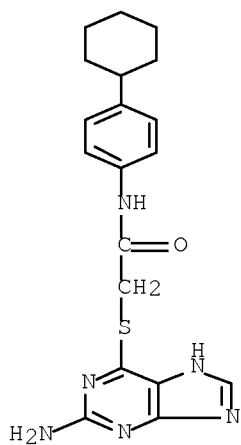
I



II

AB The title compds. [I; R1-R5 = H, halo, OH, etc.], useful to treat disorders such as obesity and bulimia that are mediated by NPY and especially those mediated by NPY via the Y5 receptor, were prepared and formulated. Thus, reaction of 2-mercapto-1-methylimidazole with N-biphenyl-2-chloroacetamide in the presence of K2CO3 in DMF afforded the title compound II which showed IC50 of 0.64  $\mu$ M against hNPY5.  
 IT 212073-59-1P 212073-69-3P  
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (preparation of  $\alpha$ -alkoxy and  $\alpha$ -thioalkoxyamides as NPY5 receptor antagonists)  
 RN 212073-59-1 ZCAPLUS

CN     Acetamide, 2-[(2-amino-1H-purin-6-yl)thio]-N-(4-cyclohexylphenyl)- (9CI)  
 (CA INDEX NAME)



RN     212073-69-3    ZCAPLUS

CN     Acetamide, 2-[(2-amino-1H-purin-6-yl)thio]-N-(4-benzoylphenyl)- (9CI)    (CA  
 INDEX NAME)

